Claims

- 1. A method of treating a human patient suffering from ocular allergy, comprising administering to said patient an ophthalmic composition containing from about 0.01% to about 0.1% of macrolide compound.
- 2. A method according to claim 1 wherein said ocular allergy is allergic conjunctivitis.
- 3. A method according to claim 1 or 2 wherein said composition contains from about 0.03% to about 0.06% of said macrolide compound.
- 4. A method according to claim 3 wherein said macrolide compound composition contains about 0.03% of said macrolide compound.
- 5. A method according to claim 1 wherein said macrolide compound is FK506.
- 6. A method according to claim 1 wherein said ophthalmic composition is eye drop.
- 7. A method according to claim 6, wherein said eye drop further contains polyvinyl alcohol.
- 8. A method according to claim 7, wherein said eye drop contains about 0.03% of said macrolide compound.
- 9. A method according to claim 8, wherein said eye drop is administered from about one to about 4 times per day.
- 10. A method according to any of claims 1 to 9, wherein said macrolide compound is a compound having the following formula (I) or a pharmaceutically acceptable salt thereof:

$$R^{24}$$
 R^{6}
 R^{19}
 R^{19}
 R^{1}
 R^{10}
 R^{10}
 R^{22}
 R^{2}
 R^{10}
 R^{23}
 R^{23}
 R^{14}
 R^{15}
 R^{15}
 R^{15}
 R^{15}

wherein adjacent pairs of R^1 and R^2 , R^3 and R^4 , and R^5 and R^6 each independently

- a) consist of two adjacent hydrogen atoms, wherein R² is optionally alkyl, or
- b) form another bond optionally between carbon atoms binding with the members of said pairs;

R⁷ is hydrogen atom, hydroxy, alkyloxy or protected hydroxy, or may form oxo with R¹;

R⁸ and R⁹ each independently show hydrogen atom or hydroxy;

R¹⁰ is hydrogen atom, alkyl, alkyl substituted by one or more hydroxy, alkenyl, alkenyl substituted by one or more hydroxy or alkyl substituted by oxo;

X is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula -CH₂O-;

Y is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula N-NR¹¹R¹² or N-OR¹³;

R¹¹ and R¹² each independently show hydrogen atom, alkyl, aryl or tosyl;

 R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{22} and R^{23} each independently show hydrogen atom or alkyl;

R²⁴ is an optionally substituted ring that may contain one or more hetero atom(s); and

n is 1 or 2.

11. A method according to claim 10, wherein said macrolide compound has the following structure:

- 12. An ophthalmic composition for treatment of ocular allergy containing from about 0.01% to about 0.1% of macrolide compound.
- 13. An ophthalmic composition according to claim 12 wherein said ocular allergy is allergic conjunctivitis.
 - 14. An ophthalmic composition according to claim 12 or 13 which contains from about 0.03% to about 0.06% of said macrolide compound.
 - 15. An ophthalmic composition according to claim 14 which contains about 0.03% of said macrolide compound.
 - 16. An ophthalmic composition according to claim 12 wherein said macrolide compound is FK506.
 - 17. An ophthalmic composition according to claim 12 which is an eye drop.
 - 18. An ophthalmic composition according to claim 17, wherein said eye drop further contains polyvinyl alcohol.
 - 19. An ophthalmic composition according to claim 18, wherein said eye drop contains about 0.03% of said macrolide compound.
 - 20. An ophthalmic composition according to claim 19, wherein said eye drop is administered from about one to about 4 times per day.

21. An ophthalmic composition according to any of claims 12 to 20, wherein said macrolide compound is a compound having the following formula (I) or a pharmaceutically acceptable salt thereof:

wherein adjacent pairs of R^1 and R^2 , R^3 and R^4 , and R^5 and R^6 each independently

- a) consist of two adjacent hydrogen atoms, wherein R² is optionally alkyl, or
- b) form another bond optionally between carbon atoms binding with the members of said pairs;

R⁷ is hydrogen atom, hydroxy, alkyloxy or protected hydroxy, or may form oxo with R¹;

R⁸ and R⁹ each independently show hydrogen atom or hydroxy;

R¹⁰ is hydrogen atom, alkyl, alkyl substituted by one or more hydroxy, alkenyl, alkenyl substituted by one or more hydroxy or alkyl substituted by oxo;

X is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula -CH₂O-;

Y is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula N-NR¹¹R¹² or N-OR¹³;

R¹¹ and R¹² each independently show hydrogen atom, alkyl, aryl or tosyl;

 R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{22} and R^{23} each independently show hydrogen atom or alkyl;

R²⁴ is an optionally substituted ring that may contain one or more hetero atom(s); and

n is 1 or 2.

22. An ophthalmic composition according to claim 21, wherein said macrolide compound has the following structure:

- 23. A use of macrolide compound for manufacturing an ophthalmic composition for treatment of ocular allergy, wherein said composition contains from about 0.01% to about 0.1% of said macrolide compound.
- 24. A use according to claim 23 wherein said ocular allergy is allergic conjunctivitis.
- A use according to claim 23 or 24 wherein said composition contains from about 0.03% to about 0.06% of said macrolide compound.
- 26. A use according to claim 25 wherein said composition contains about 0.03% of said macrolide compound.
- 27. A use according to claim 23 wherein said macrolide compound is FK506.
- 28. A use according to claim 23 wherein said ophthalmic composition is an eye drop.
- 29. A use according to claim 28, wherein said eye drop further comprises polyvinyl alcohol.
- 30. A use according to claim 29, wherein said eye drop contains about 0.03% of said macrolide compound.
- 31. A use according to claim 30, wherein said eye drop is administered from about one to about 4 times per day.

32. A use according to any of claims 23 to 31, wherein said macrolide compound is a compound having the following formula (I) or a pharmaceutically acceptable salt thereof:

wherein adjacent pairs of R^1 and R^2 , R^3 and R^4 , and R^5 and R^6 each independently

- a) consist of two adjacent hydrogen atoms, wherein R² is optionally alkyl, or
- b) form another bond optionally between carbon atoms binding with the members of said pairs;

R⁷ is hydrogen atom, hydroxy, alkyloxy or protected hydroxy, or may form oxo with R¹;

R⁸ and R⁹ each independently show hydrogen atom or hydroxy;

R¹⁰ is hydrogen atom, alkyl, alkyl substituted by one or more hydroxy, alkenyl, alkenyl substituted by one or more hydroxy or alkyl substituted by oxo;

X is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula -CH₂O-;

Y is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula N-NR¹¹R¹² or N-OR¹³;

R¹¹ and R¹² each independently show hydrogen atom, alkyl, aryl or tosyl;

 R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{22} and R^{23} each independently show hydrogen atom or alkyl;

R²⁴ is an optionally substituted ring that may contain one or more hetero atom(s); and

n is 1 or 2.

33. A use according to claim 32, wherein said macrolide compound has the following structure:

34. A commercial package comprising the ophthalmic composition of any of claims 12 to 22 and a written matter associated therewith, the written matter stating that the composition can or should be used for allergic conjunctivitis.